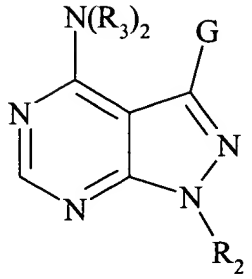
CLAIMS

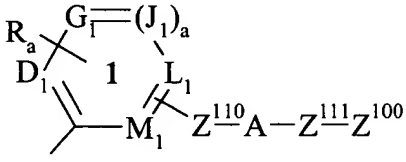
We claim:

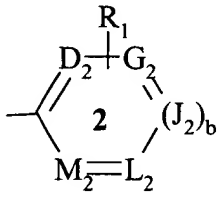
1. A compound of Formula (I)

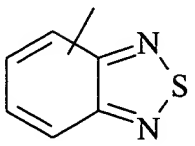
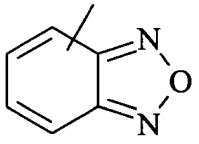
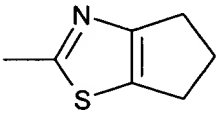


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-

a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,  ,  , thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted

phenyl;

$R_a$ and $R_l$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, -$Z^{105}$-$C(O)N(R)_2$, -$Z^{105}$-N(R)-C(O)-$Z^{200}$, -$Z^{105}$-N(R)-$S(O)_2$-$Z^{200}$, -$Z^{105}$-N(R)-C(O)-N(R)-$Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, -$CH_2$-$NR_dR_e$, -W-$(CH_2)_t$-$NR_dR_e$, -W-$(CH_2)_t$-O-alkyl, -W-$(CH_2)_t$-S-alkyl, or -W-$(CH_2)_t$-OH;

$Z^{105}$ for each occurrence is independently a covalent bond or ($C_1$-$C_6$);

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted phenyl or substituted or unsubstituted -($C_1$-$C_6$)-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is –($C_1$-$C_6$) -, -O-; -S-; -$S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -$N(SO_2R)$-; -$CH_2O$-; -$CH_2S$-; -$CH_2N(R)$-; -CH(NR)-; -$CH_2N(C(O)R)$)-; -$CH_2N(C(O)OR)$-; -$CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; -$CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -$N(R)S(O)_p$-; -OC(O)N(R)-; ; -N(R)-C(O)-$(CH_2)_n$-N(R)-, -N(R)C(O)O-; -N(R)-$(CH_2)_{n+1}$-C(O)-, -$S(O)_pN(R)$-; -O-$(CR_2)_{n+1}$-C(O)-, -O-$(CR_2)_{n+1}$-O-, -$N(C(O)R)S(O)_p$-; -$N(R)S(O)_pN(R)$-; -N(R)-C(O)-$(CH_2)_n$-O-, -C(O)N(R)C(O)-; -$S(O)_pN(R)C(O)$-; -$OS(O)_pN(R)$-; -$N(R)S(O)_pO$-; -$N(R)S(O)_pC(O)$-; -$SO_pN(C(O)R)$-; -$N(R)SO_pN(R)$-; -C(O)O-; -$N(R)P(OR_b)O$-; -$N(R)P(OR_b)$-; -$N(R)P(O)(OR_b)O$-; -$N(R)P(O)(OR_b)$-; -

$N(C(O)R)P(OR_b)O$-; -$N(C(O)R)P(OR_b)$-; -$N(C(O)R)P(O)(OR_b)O$-, or -$N(C(O)R)P(OR_b)$-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is H or a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -$(C_1$-$C_6)$-, -$(C_1$-$C_6)$- -O-, -$(C_1$-$C_6)$- -C(O)-, -$(C_1$-$C_6)$- -C(O)O-, -$(C_1$-$C_6)$-C(O)-NH-, -$(C_1$-$C_6)$-C(O)-N($(C_1$-$C_6)$)- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted $(C_1$-$C_6)$, substituted or

unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N(R)$_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N(R)$_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl,

substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of

$CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when A is –N(R)-, $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, then $Z^{100}$ is not alkyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl or pyrrolidinyl;

provided that when $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, $Z^{100}$ is a substituted or unsubstituted alkyl, then A is not alkyl, -O-, -C(O)-, -NHC(O)- or –C(O)O-;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is not alkyl;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl; and

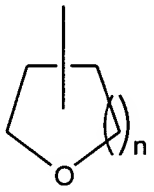
provided that when $R_2$ is a substituted or unsubstituted cyclopentyl, $Z^{100}$ is an substituted or unsubstituted alkyl, $Z^{110}$ and $Z^{111}$ are each a covalent bond, then A is not –O-, -C(O)O-, or –N(R)-.

2. The compound of Claim 1 wherein $R_3$ is H; $R_1$ for each occurrence is

independently selected from the group consisting of F, Cl, Br, I, $CH_3$, $NO_2$, $OCF_3$, $OCH_3$, CN, $CO_2CH_3$, $CF_3$, $-CH_2NR_dR_e$, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

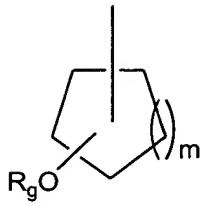
3. The compound of Claim 1 wherein $R_3$ is H; $R_a$ for each occurrence is independently selected from the group consisting of F, Cl, Br, I, $CH_3$, $NO_2$, $OCF_3$, $OCH_3$, CN, $CO_2CH_3$, $CF_3$, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.

4. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein n is 1, 2 or 3.

5. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula



wherein:

m is 0, 1, 2 or 3;

$R_g$ is H or $-(CH_2)_pN(R_4)R_5$;

p is an integer from 2 to 6;

$R_4$ and $R_5$ are each, independently, H, azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$;

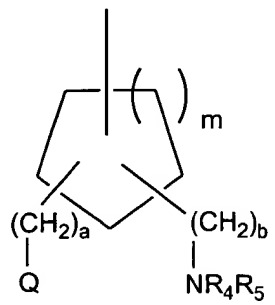
q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkoxy, amino, aryl, heteroaryl and heterocycloalkyl group; or

$R_4$, $R_5$ and the nitrogen atom to which they are attached together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocyclic or heterobicyclic group.

6. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula



wherein:

m is 0, 1, 2 or 3;

a and b are each, independently, an integer from 0 to 6;

Q is $-OR_6$ or $-NR_4R_5$;

each $R_4$ and $R_5$ is, independently, H, azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$;

q is an integer from 0 to 6;

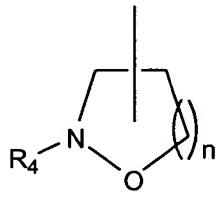
r is 0, 1 or 2; and

Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, amino, aryl, heteroaryl or heterocycloalkyl group; or

$R_4$, $R_5$ and the nitrogen atom to which they are attached together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocyclic or heterobicyclic group; and

$R_6$ is hydrogen or a substituted or unsubstituted alkyl group.

7. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein:

n is 1, 2 or 3;

$R_4$ is H, azabicycloalkyl or Y-Z;

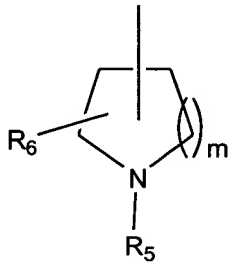
Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$;

q is an integer 0 to 6;

r is 0, 1 or 2; and

Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group.

8. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein;

m is 0, 1, 2 or 3;

$R_5$ is H, azabicycloalkyl or Y-Z;

Y is selected from the group consisting of a covalent bond, -C(O)-, -$(CH_2)_q$-, -$S(O)_2$-, -C(O)O-, -$SO_2NH$-, -CONH-, -$(CH_2)_qO$-, -$(CH_2)_qNH$-, -$(CH_2)_qC(O)$-, -$C(O)(CH_2)_q$- and -$(CH_2)_qS(O)_r$-, where the alkyl portion of -$(CH_2)_q$-, -$(CH_2)_qO$-, -$(CH_2)_qNH$-, -$(CH_2)_qC(O)$-, -$C(O)(CH_2)_q$- and -$(CH_2)_qS(O)_r$ is optionally substituted by a halogen, hydroxy or an alkyl group;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted

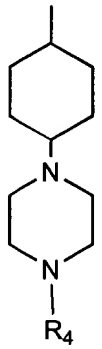
heterocycloalkyl group; or

Y and Z together are a natural or unnatural amino acid, which may be mono- or di-alkylated at the amine nitrogen; and

$R_6$ represents one or more substituents each independently selected from the group consisting of hydrogen, hydroxy, oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heterocyclylcarbonyl, substituted or unsubstituted aminoalkyl and substituted or unsubstituted arylalkyl;

provided that the carbon atoms adjacent to the nitrogen atom are not substituted by a hydroxy group.

9. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein:

$R_4$ is H, substituted or unsubstituted alkyl, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$,$-S(O)_2-$, -C(O)O-, -

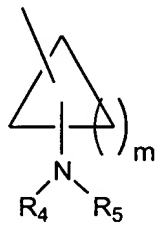
$SO_2NH$-, -CONH-, $-(CH_2)_qO$-, $-(CH_2)_qNH$-, and $-(CH_2)_qS(O)_r$-;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl.

10. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein:

m is an integer from 1 to 6;

$R_4$ and $R_5$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q$-, $-S(O)_2$-, -C(O)O-, $SO_2NH$-, -CONH-, $-(CH_2)_qO$-, $-(CH_2)_qNH$-, and $-(CH_2)_qS(O)_r$-;

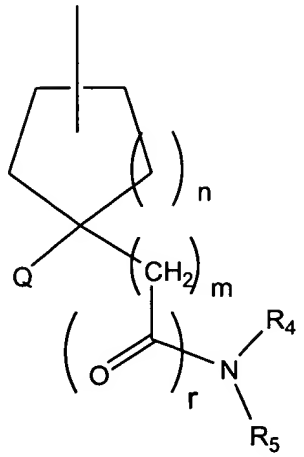
q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

$R_4$, $R_5$ and the nitrogen atom to which they are attached together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterobicyclic group.

11. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein

n is an integer from 0 to 4;

r is 0 and m is an integer from 1 to 6; or

r is 1 and m is an integer from 0 to 6;

Q is $-OR_6$ or $-NR_4R_5$;

each $R_4$ and $R_5$ is, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

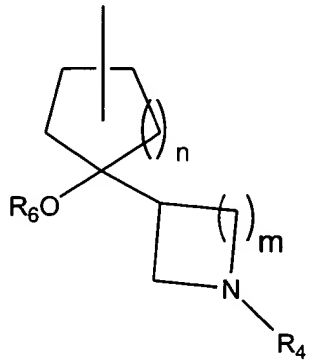
Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

$R_4$, $R_5$ and the nitrogen atom to which they are attached together form a 3, 4, 5 or

6-membered, substituted or unsubstituted heterocyclic group; and

$R_6$ is hydrogen or a substituted or unsubstituted alkyl group.

12. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein:

n is an integer from 0 to 4;

m is an integer from 0 to 6;

$R_4$ is H, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_q-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and-$(CH_2)_qS(O)_r-$;

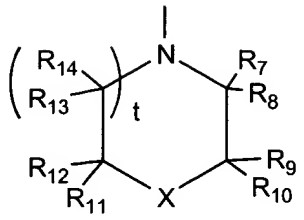
q is an integer from 0 to 6;

r is 0, 1 or 2;

Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl; and

$R_6$ is hydrogen or a substituted or unsubstituted alkyl group.

13. The compound of Claim 10 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocyclic group of the formula



wherein:

$R_7$, $R_8$, $R_9$, $R_{10}$, $R_{11}$, $R_{12}$, $R_{13}$ and $R_{14}$ are each, independently, lower alkyl or hydrogen; or

at least one pair of substituents $R_7$ and $R_8$; $R_9$ and $R_{10}$; $R_{11}$ and $R_{12}$; or $R_{13}$ and $R_{14}$ together are an oxygen atom; or

at least one of $R_7$ and $R_9$ is cyano, $CONHR_{15}$, $COOR_{15}$, $CH_2OR_{15}$ or $CH_2NR_{15}(R_{16})$, and

$R_{15}$ and $R_{16}$ are each, independently, H, azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p$-,$-S(O)_2$-, -C(O)O-, $-SO_2NH$-, -CONH-, $(CH_2)_qO$-, $-(CH_2)_qNH$-, and-$(CH_2)_qS(O)_r$-;

p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2;

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl; or $R_{15}$, $R_{16}$ and the nitrogen atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocyclic or a substituted or unsubstituted heterobicyclic group;

X is O, S, SO, $SO_2$, $CH_2$, $CHOR_{17}$ or $NR_{17}$;

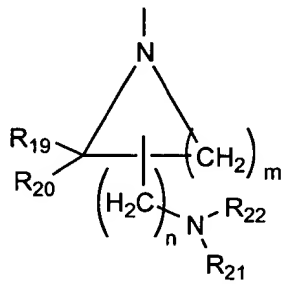
$R_{17}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, $-C(NH)NH_2$, $-C(O)R_{17}$, or -

$C(O)OR_{18}$;

$R_{18}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

14. The compound of Claim 10 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocycle of the formula



wherein:

$R_{19}$ and $R_{20}$ are each, independently, hydrogen or lower alkyl; or $R_{19}$ and $R_{20}$ together are an oxygen atom;

$R_{21}$ and $R_{22}$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and$-(CH_2)_qS(O)_r-$;

p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

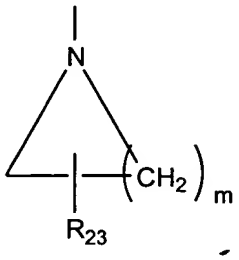
$R_{21}$, $R_{22}$ and the nitrogen atom together form a 3, 4, 5 or 6-membered, substituted

or unsubstituted heterocyclic group; and

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

15. The compound of Claim 10 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocyclic group of the formula



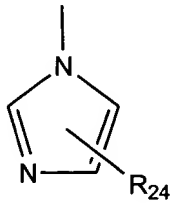
wherein:

m is an integer from 1 to 6;

$R_{23}$ is $CH_2OH$, NRR', C(O)NRR' or COOR; and

R and R' are each, independently, hydrogen or substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl.

16. The compound of Claim 10 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocyclic group of the formula



wherein:

$R_{24}$ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, carboxyl, cyano, $C(O)OR_{25}$,

$CH_2OR_{25}$, $CH_2NR_{26}R_{27}$ or $C(O)NHR_{26}$;

$R_{25}$ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl; and

$R_{26}$ and $R_{27}$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and$-(CH_2)_qS(O)_r-$;

p is an integer from 0 to 6;

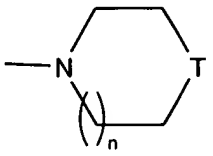
q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl; or

$R_{26}$, $R_{27}$ and the nitrogen atom together form a 3, 4, 5 or 6-membered, substituted or unsubstituted heterocyclic group.

17. The compound of Claim 10 wherein at least one of $R_4$ and $R_5$ is of the formula Y-Z, wherein Z is of the formula




wherein:

T is C(O), S, SO, $SO_2$, CHOR or NR;

R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; and

n is 0, 1 or 2.

18. The compound of Claim 10 wherein:

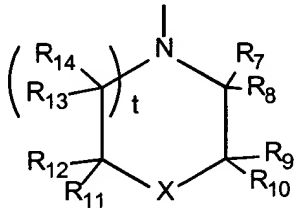
at least one of $R_4$ and $R_5$ is of the formula Y-Z;

Z is of the formula $-N(R_{28})R_{29}$; and

$R_{28}$ and $R_{29}$ are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

$R_{28}$ and $R_{29}$, together with the nitrogen atom, form a five- or six-membered substituted or unsubstituted heterocyclic group.

19. The compound of Claim 11 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocycle of the formula




wherein:

$R_7$, $R_8$, $R_9$, $R_{10}$, $R_{11}$, $R_{12}$, $R_{13}$ and $R_{14}$ are each, independently, lower alkyl or hydrogen; or

at least one pair of substituents $R_7$ and $R_8$; $R_9$ and $R_{10}$; $R_{11}$ and $R_{12}$; or $R_{13}$ and $R_{14}$

together are an oxygen atom; or

at least one of $R_7$ and $R_9$ is cyano, $CONHR_{15}$, $COOR_{15}$, $CH_2OR_{15}$ or $CH_2NR_{15}(R_{16})$; and

$R_{15}$ and $R_{16}$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and-$(CH_2)_qS(O)_r-$;

p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl; or

$R_{15}$, $R_{16}$ and the nitrogen atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocyclic or heterobicyclic group; and

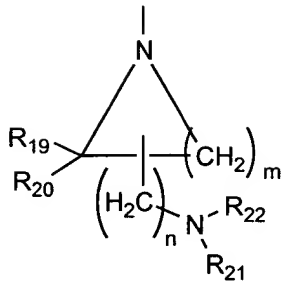
X is O, S, SO, $SO_2$, $CH_2$, $CHOR_{17}$ or $NR_{17}$;

$R_{17}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, $-C(NH)NH_2$, $-C(O)R_{18}$, or -$C(O)OR_{18}$;

$R_{18}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

20. The compound of Claim 11 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocycle of the formula



wherein:

$R_{19}$ and $R_{20}$ are each, independently, hydrogen or lower alkyl; or

$R_{19}$ and $R_{20}$ together are an oxygen atom; and

$R_{21}$ and $R_{22}$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, -$SO_2NH$-, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and-$(CH_2)_qS(O)_r-$;

p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

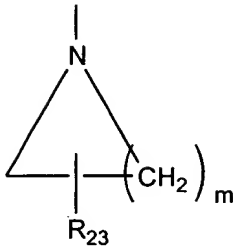
L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

$R_{21}$, $R_{22}$ and the nitrogen atom together form a 3, 4, 5 or 6-membered, substituted or unsubstituted heterocyclic group; and

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

21. The compound of Claim 11 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocyclic group of the formula



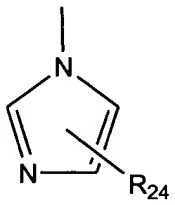
wherein:

m is an integer from 1 to 6; and

$R_{23}$ is $CH_2OH$, NRR', C(O)NRR' or COOR;

R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group.

22. The compound of Claim 11 wherein $R_4$, $R_5$ and the nitrogen atom together form a heterocyclic group of the formula



wherein:

$R_{24}$ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl , carboxyl, cyano, $C(O)OR_{25}$, $CH_2OR_{25}$, $CH_2NR_{26}R_{27}$ or $C(O)NHR_{26}$;

$R_{25}$ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl group;

$R_{26}$ and $R_{27}$ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, -

$SO_2NH$-, -CONH-, $(CH_2)_qO$-, -$(CH_2)_qNH$-, and-$(CH_2)_qS(O)_r$-;

p is an integer from 0 to 6;

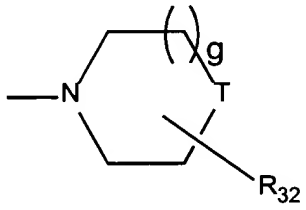
q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

$R_{26}$, $R_{27}$ and the nitrogen atom together form a 3, 4, 5 or 6-membered, substituted or unsubstituted heterocyclic group.

23. The compound of Claim 11 wherein at least one of $R_4$ and $R_5$ is of the formula Y-Z, wherein Z is of the formula




wherein:

g is 0 or 1;

T is C(O), O, S, SO, $SO_2$, $CH_2$, $CHOR_{17}$ or $NR_{17}$;

$R_{17}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -$C(NH)NH_2$, -$C(O)R_{18}$, or -$C(O)OR_{18}$;

$R_{18}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

24. The compound of Claim 11 wherein;

at least one of $R_4$ and $R_5$ is of the formula Y-Z;

Z is of the formula $-N(R_{28})R_{29}$; and

$R_{28}$ and $R_{29}$ are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

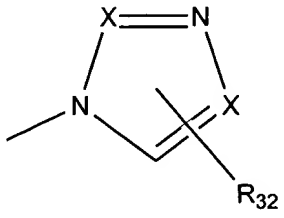
$R_{28}$ and $R_{29}$, together with the nitrogen atom, form a five- or six-membered substituted or unsubstituted heterocyclic group.

25. The compound of Claim 8 wherein:

$R_5$ is Y-Z, wherein Z is of the formula $N(R_{30})R_{31}$; and

$R_{30}$ and $R_{31}$ are each, independently, hydrogen, alkyl, alkoxycarbonyl, alkoxyalkyl, hydroxyalkyl, aminocarbonyl, cyano, alkylcarbonyl or arylalkyl.

26. The compound of Claim 8 wherein $R_5$ is Y-Z, wherein Z is of the formula

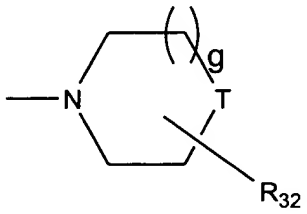


wherein:

each X is, independently, CH or N; and

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

27. The compound of Claim 8 wherein $R_5$ is Y-Z, wherein Z is of the formula




wherein:

g is 0 or 1;

T is O, S, SO, $SO_2$, $CH_2$, $CHOR_{17}$ or $NR_{17}$;

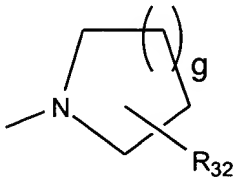
$R_{17}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, $C(O)NH_2$, $-C(NH)NH_2$, $-C(O)R_{17}$, or $-C(O)OR_{18}$;

$R_{18}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted

or unsubstituted arylalkyl group.

28. The compound of Claim 8 wherein $R_5$ is Y-Z, wherein Z is of the formula

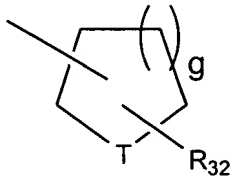



wherein:

g is 0, 1 or 2; and

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

29. The compound of Claim 8 wherein $R_5$ is Y-Z, wherein Z is of the formula




wherein

T is C(O), O, S, SO, $SO_2$, $CH_2$, $CHOR_{17}$ or $NR_{17}$;

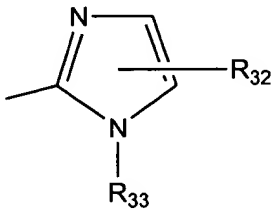
$R_{17}$ is hydrogen, substituted or unsubstituted alkyl, aryl, arylalkyl, $-C(NH)NH_2$, $-C(O)R_{18}$, or $-C(O)OR_{18}$;

$R_{18}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl;

g is 0 or 1; and

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

30. The compound of Claim 8 wherein $R_5$ is Y-Z, wherein Z is of the formula

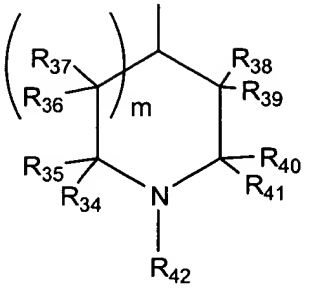



wherein:

$R_{32}$ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, alkylcarbonyl , substituted or unsubstituted thioalkoxy or substituted or unsubstituted arylalkyl; and

$R_{33}$ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, perhaloalkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

31. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula




wherein:

m is 0 or 1; and

$R_{34}$, $R_{35}$, $R_{36}$, $R_{37}$, $R_{38}$, $R_{39}$, $R_{40}$ and $R_{41}$ are each, independently, methyl or hydrogen; or

at least one pair of substituents $R_{34}$ and $R_{35}$; $R_{36}$ and $R_{37}$; $R_{38}$ and $R_{39}$; or $R_{40}$ and $R_{41}$ together are an oxygen atom; and

$R_{42}$ is H, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and-$(CH_2)_qS(O)_r-$;

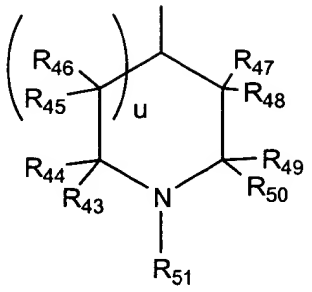
p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl group; or

$R_{42}$ is of the formula




wherein:

u is 0 or 1;

$R_{43}$, $R_{44}$, $R_{45}$, $R_{46}$, $R_{47}$, $R_{48}$, $R_{49}$ and $R_{50}$ are each, independently, methyl or hydrogen; or

at least one pair of substituents $R_{43}$ and $R_{44}$; $R_{45}$ and $R_{46}$; $R_{47}$ and $R_{48}$; or $R_{49}$ and $R_{50}$ together are an oxygen atom; and

$R_{51}$ is H, substituted or unsubstituted azabicycloalkyl or V-L;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and$-(CH_2)_qS(O)_r-$;

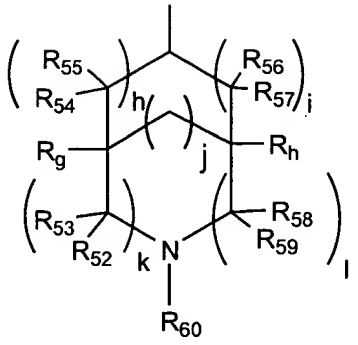
p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl.

32. The compound of Claim 1 wherein $R_3$ is H; $R_2$ is of the formula



wherein:

h, i, j, k and l are independently 0 or 1;

$R_{52}$, $R_{53}$, $R_{54}$, $R_{55}$, $R_{56}$, $R_{57}$, $R_{58}$, $R_{59}$, $R_g$ and $R_h$ are each, independently, methyl or hydrogen; or

at least one pair of substituents $R_{52}$ and $R_{53}$; $R_{54}$ and $R_{55}$; $R_{56}$ and $R_{57}$; or $R_{58}$ and $R_{59}$ together are an oxygen atom; and

$R_{60}$ is H, substituted or unsubstituted azabicycloalkyl or Y-Z;

Y is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, $-SO_2NH-$, -CONH-, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$;

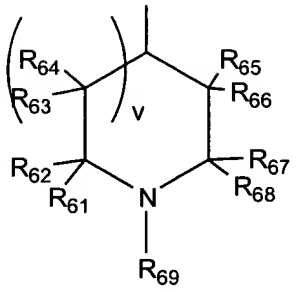
p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl; or

$R_{60}$ is of the formula




wherein:

v is 0 or 1;

$R_{61}$, $R_{62}$, $R_{63}$, $R_{64}$, $R_{65}$, $R_{66}$, $R_{67}$ and $R_{68}$ are each, independently, lower alkyl or hydrogen; or

at least one pair of substituents $R_{61}$ and $R_{62}$; $R_{63}$ and $R_{64}$; $R_{65}$ and $R_{66}$; and $R_{67}$ and $R_{68}$ together are an oxygen atom; and

$R_{69}$ is H, substituted or unsubstituted azabicycloalkyl or V-l;

V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$,$-S(O)_2-$, -C(O)O-, -$SO_2NH-$, -CONH-, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and-$(CH_2)_qS(O)_r-$;

p is an integer from 0 to 6;

q is an integer from 0 to 6;

r is 0, 1 or 2; and

L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted heterocycloalkyl.

33. A method of inhibiting one or more protein kinase activity in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

34. The method of Claim 33 wherein said protein kinase is selected from the group consisting of KDR, FGFR-1, PDGFRβ, PDGFRα, IGF-1R, c-Met, Flt-1, Flt-4, TIE-2, TIE-1, Lck, Src, fyn, Lyn, Blk, hck, fgr and yes.

35. A method of affecting hyperproliferative disorders in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

36. A method of affecting angiogenesis in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

37. The method of Claim 33 wherein the protein kinase is a protein serine/threonine kinase or a protein tyrosine kinase.

38. A method of treating one or more ulcers in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

39. The method of Claim 38 wherein the ulcer or ulcers are caused by a bacterial or fungal infection; or the ulcer or ulcers are Mooren ulcers; or the ulcer or ulcers are a symptom of ulcerative colitis.

40. A method of treating a condition in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically

acceptable salt, prodrug or biologically active metabolites thereof to said patient, wherein said condition is an ocular condition, a cardiovascular condition, a cancer, Crow-Fukase (POEMS) syndrome, a diabetic condition, sickle cell anaemia, chronic inflammation, systemic lupus, glomerulonephritis, synovitis, inflammatory bowel disease, Crohn's disease, glomerulonephritis, rheumatoid arthritis, osteoarthritis, multiple sclerosis, graft rejection, Lyme disease, sepsis, von Hippel Lindau disease, pemphigoid, psoriasis, Paget's disease, polycystic kidney disease, fibrosis, sarcoidosis, cirrhosis, thyroiditis, hyperviscosity syndrome, Osler-Weber-Rendu disease, chronic occlusive pulmonary disease, asthma or edema following burns, trauma, radiation, stroke, hypoxia, ischemia, ovarian hyperstimulation syndrome, preeclampsia, menometrorrhagia, endometriosis, or infection by Herpes simplex, Herpes Zoster, human immunodeficiency virus, parapoxvirus, protozoa or toxoplasmosis.

41. The method of Claim 40 wherein the ocular condition is ocular or macular edema, ocular neovascular disease, scleritis, radial keratotomy, uveitis, vitritis, myopia, optic pits, chronic retinal detachment, post-laser treatment complications, conjunctivitis, Stargardt's disease, Eales disease, retinopathy or macular degeneration.

42. The method of Claim 40 wherein the cardiovascular condition is atherosclerosis, restenosis, ischemia/reperfusion injury, vascular occlusion or carotid obstructive disease.

43. The method of Claim 40 wherein the cancer is a solid tumor, a sarcoma, fibrosarcoma, osteoma, melanoma, retinoblastoma, a rhabdomyosarcoma,

glioblastoma, neuroblastoma, teratocarcinoma, an hematopoietic malignancy, Kaposi's sarcoma, Hodgkin's disease, lymphoma, myeloma, leukemia or malignant ascites.

44. The method of Claim 40 wherein the diabetic condition is insulin-dependent diabetes mellitus glaucoma, diabetic retinopathy or microangiopathy.

45. A method of decreasing fertility in a patient, said method comprising the step of administering to the patient an effective amount of a compound of Claim 1 or a physiologically acceptable salt, prodrug or biologically active metabolite thereof.

46. The method of Claim 36 wherein the compound or a physiologically acceptable salt, prodrug or biologically active metabolite thereof is administered in an amount effective to promote angiogenesis or vasculogenesis.

47. The method of Claim 34 wherein the protein kinase is Tie-2.

48. The method of Claim 46 wherein the compound of Formula I, or physiologically acceptable salt, prodrug or biologically active metabolite thereof, is administered in combination with a pro-angiogenic growth factor.

49. The method of Claim 48 wherein the pro-angiogenic growth factor is selected from the group consisiting of VEGF, VEGF-B, VEGF-C, VEGF-D, VEGF-E, HGF, FGF-1, FGF-2, derivatives thereof and antiiodotypic antibodies.

50. The method of Claim 46 wherein the patient is suffering from anemia, ischemia, infarct, transplant rejection, a wound, gangrene or necrosis.

51. The method of Claim 33 wherein the protein kinase activity is involved in T cell activation, B cell activation, mast cell degranulation, monocyte activation, the potentiation of an inflammatory response or a combination thereof.

52. A compound according to Claim 1, wherein:

$R_3$ is H;

$R_2$ is $-Z^{101}-Z^{102}$;

$Z^{101}$ is a covalent bond, $-(C_1-C_6)-$, $-(C_1-C_6)-O-$, $-(C_1-C_6)-C(O)-$, $-(C_1-C_6)-C(O)O-$, $-(C_1-C_6)-C(O)-NH-$, $-(C_1-C_6)-C(O)-N((C_1-C_6))-$ or a substituted phenyl group; and

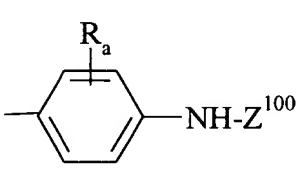
$Z^{102}$ is hydrogen, a substituted or unsubstituted alkyl group or a substituted or unsubstituted, saturated or unsaturated heterocyclic group.

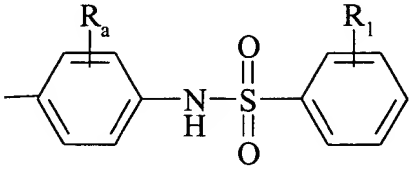
53. A compound according to Claim 52, wherein:

$Z^{101}$ is selected from the group consisting of $-CH_2-C(O)O-$, $-CH_2-C(O)-$, $-CH_2-C(O)-NH-$, $-CH_2-C(O)-N(Me)-$, $-CH(Me)-C(O)O-$, $-(CH_2)_3-C(O)O-$, $-CH(Me)-C(O)-NH-$ and $-(CH_2)_3-C(O)-NH-$;

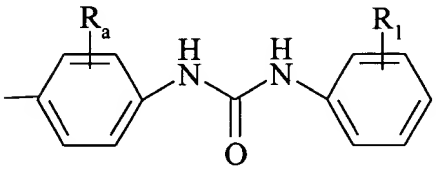
$Z^{102}$ is selected from the group consisting of hydrogen, methyl, ethyl, N,N-dimethylaminoethyl, N,N-diethylaminoethyl, 2-phenyl-2-hydroxyethyl, morpholino, piperazinyl, N-methylpiperazinyl and 2-hydroxymethylpyrrolidinyl.

54. A compound according to Claim 53, wherein G is selected from



,


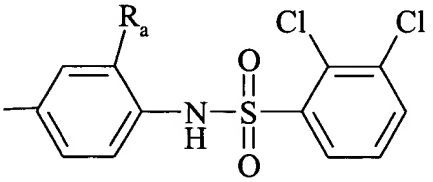
and




wherein:

$Z^{100}$ is a substituted or unsubstituted benzoxazolyl or a substituted or unsubstituted benzthiazolyl.

55. A compound according to Claim 8, 9, 10 or 53, wherein G is



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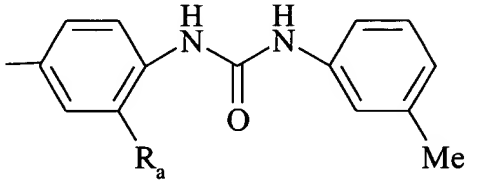

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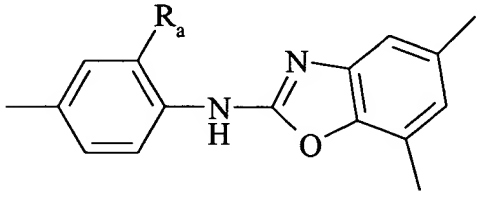
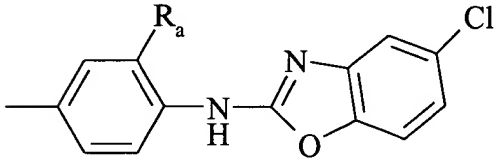


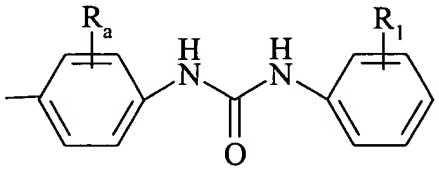
or




wherein there is only one $R_a$ and it is H or F.

56. A compound according to Claim 52, wherein $Z^{101}$ is a covalent bond; and $Z^{102}$ is an optionally substituted pyridyl.

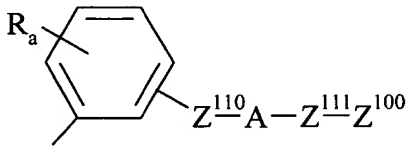
57. A compound according to Claim 56, wherein G is



.

58. A compound according to Claim 1, wherein $R_3$ is H;

$R_2$ is cyclopentyl; and

G is 

.

59. A compound according to Claim 58, wherein

$Z^{110}$ is hydrogen;

A is O; and

$Z^{100}$ is optionally substituted phenyl, furanyl or thienyl, where $Z^{100}$ is optionally substituted with one or more substituents each independently selected from the group consisting of F, COOH, $NO_2$, OMe, -COOMe, $OCF_3$ and $CF_3$.

60. A compound according to Claim 58, wherein:

$Z^{110}$ is hydrogen;

A is -O-, -O-$(CR_2)_n$-C(O)- or -O-$(CR_2)_n$-O-;

n for each occurrence is 0 to 3;

$Z^{100}$ is an optionally substituted group selected from the group consisting of cyclohexyl, phenyl, tetrahydropyranyl, tetrahydrofuranyl, isoxazolyl and piperidinyl; where $Z^{100}$ is optionally substituted with one or more substituents selected from the group consisting of alkyl, alkoxy, halo, hydroxy and alkoxycarbonyl.

61. A compound according to Claim 58, wherein $R^2$ is an optionally substituted group selected from the group consisting of cyclobutyl and cyclohexyl.

62. A compound according to Claim 61, wherein $R^2$ is optionally substituted with one or more substituents selected from the group consisting of hydroxy, alkyl, hydroxyalkyl, carboxyalkyl and phenylalkoxyalkyl.

63. A compound according to Claim 62, wherein G is 4-phenoxyphenyl.

64. A compound according to Claim 6 wherein m is 2; a is 0; $R_6$ is H; b is 1 or 2; and $R_4$ and $R_5$ are each hydrogen.

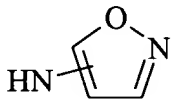
65. A compound according to Claim 8, wherein m is 0, 1 or 2;

$R_6$ is hydrogen; $R_5$ is H or Y-Z;

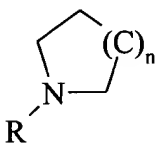
Y is a covalent bond, -C(O)-, $-(CH_2)_qO-$, $-(CH_2)_q-$, $-(CH_2)_qC(O)-$ or $-C(O)(CH_2)_q-$, where the alkyl portion of $-(CH_2)_qO-$, $-(CH_2)_q-$, $-(CH_2)_qC(O)-$ and $-C(O)(CH_2)_q-$ is optionally substituted by a halogen, hydroxy or an alkyl group; and

Z is hydrogen, alkyl, optionally substituted alkyl, alkoxyalkyl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, or optionally substituted amino.

66. A compound according to Claim 65, wherein:

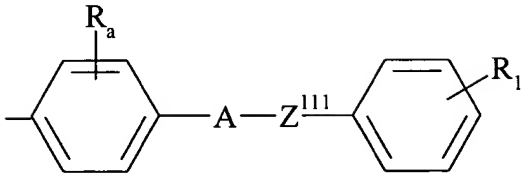
Z is hydrogen, methyl, ethyl, hydroxymethyl, methoxyethyl, N-methyl-piperidinyl, (t-butoxycarbonyl)(hydroxy)-piperidinyl, hydroxypiperidinyl, (hydroxymethyl)piperdinyl, (hydroxy)(methyl)-piperidinyl, morpholino, (methoxyethyl)piperizinyl, methylpiperizinyl, 4-piperidinylpiperidinyl, imidazolyl, methylimidazolyl, N-methylamino, N,N-dimethylamino, N-isopropylamino, N,N-diethylamino, 2,3-dihydroxypropylamino, 2-hydroxyethylamino, 3-hydroxypropylamino, methoxyethylamino, ethoxycarbonylmethylamino, phenylmethylamino, N-methyl-N-methoxyamino,  , furanylmethylamino, piperidinylethylamino, N-(2-N,N-dimethylaminoethyl)-N-methylamino, 2-N,N-dimethylaminoethylamino, N-methyl-N-(N-methylpiperidin-4-yl)amino, 2-morpholino-ethylamino, 3-morpholino-propylamino, 3-imidazolylpropylamino, or 3-(2-oxopyrrolidinyl)propylamino.

67. A compound according to Claim 8, wherein m is 2; $R_5$ is Y-Z; Y is -C(O)-; and

Z is  where n is 0, 1, 2 or 3.

68. A compound according to Claim 9, wherein

$R_4$ is hydrogen or methyl;

G is  ;

A is selected from the group consisting of O, -N(R)- and -N(R)C(O)-;

$Z^{111}$ is $-(CH_2)_n$-cycloalkyl-$(CH_2)_n$-;

R is hydrogen or alkyl;

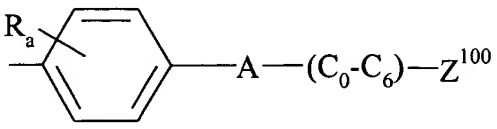
n is 0 to 5;

$R_a$ is one or more substituents each independently selected from the group consisting of H, OH, F, Cl, methyl and methoxy; and

$R_1$ is one or more substituents each independently selected from the group consisting of H, CN, F, $CF_3$, $OCF_3$, methyl, methoxy and an optionally substituted amino group; where said amino group is optionally substituted with one or two groups each independently selected from the group consisting of alkyl, alkoxyalkyl, phenyl, substituted phenyl, and optionally substituted heteroaryl.

69. A compound according to Claim 68, wherein $R_1$ is 4-methylphenylthio or 2-pyridinylthio.

70. A compound according to Claim 9, wherein

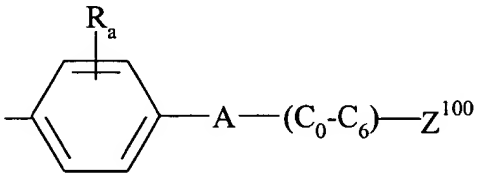
G is 

where $Z^{100}$ is selected from the group consisting of benzo[b]thiophene, furanyl

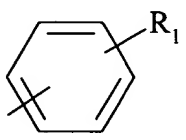
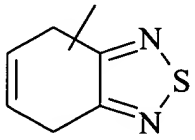
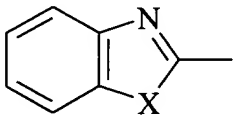
and thiophene.

71. A compound according to Claim 9, wherein $R_a$ is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and $Z^{100}$.

72. A compound according to Claims 1, 8 or 9, wherein

G is  ;

A is selected from the group consisting of -N(R)-C(O)-N(R)-, -$(CH_2)_n$-N(R)C(O)N(R)-, -N(R)- and -N(R)-$SO_2$-; R is hydrogen or alkyl;

$Z^{100}$ is  ,  ,  , pyridinyl, thiazolyl, furanyl, benzofuranyl or oxazolyl;

X is S, O or $NR^1$ where $R^1$ for each occurrence is independently H or Me;

$R_a$ is one or more substituents each independently selected from the group consisting of H and F; and

$R_1$ is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, $NO_2$, $CF_3$, alkyl, alkoxy and alkoxycarbonyl.

73. A compound according to Claim 72, wherein:

$R_4$ is methyl;

m is 1, 2 or 3;

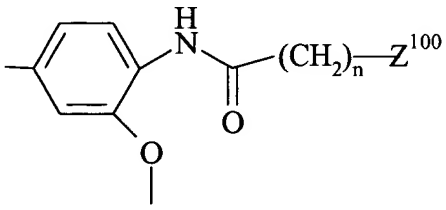
$R_5$ is Y-Z;

Y is -C(O)O-, -C(O)- or -C(O)-$(CH_2)_p$-; and

Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.

74. A compound according to Claim 9, wherein

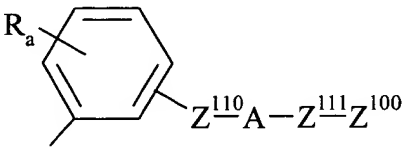
$R_4$ is methyl;

G is  ; wherein

n is 0 to 3; and

$Z^{100}$ is an optionally substituted group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. A compound according to claim 9, wherein:

G is  ;

$Z^{100}$ is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl; where $Z^{100}$ is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally substituted alkyl, -O-(optionally substituted

alkyl), -COOH, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, and $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$;

$Z^{105}$ is a covalent bond or $(C_1-C_6)$;

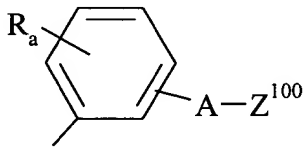
$Z^{200}$ is an optionally substituted group selected from group consisting of $(C_1-C_6)$, phenyl and $-(C_1-C_6)$-phenyl;

$Z^{110}$ and $Z^{111}$ are each independently a covalent bond or $(C_1-C_3)$ group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, -N(R)-C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)- or -N(R)-C(O)-, where R is H or alkyl.

76. A compound according to Claim 75, wherein $R_4$ is methyl.

77. A compound according to Claim 8, 9 or 10, wherein

G is  wherein:

$Z^{100}$ is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

78. A compound according to Claim 77, wherein;

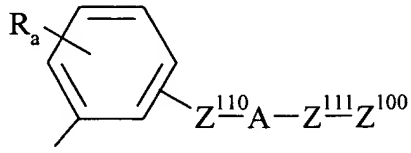
$R_4$ is methyl;

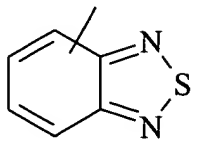
A is -NH-;

there is only one $R_a$ and it is H or F; and

$Z^{100}$ is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo, $CF_3$, and alkoxy.

79. A compound according to Claim 9, wherein:

G is  ;

$Z^{100}$ is an optionally substituted group selected from the group consisting of phenyl, pyrrolyl, pyridyl, benzimidazolyl, naphthyl and  ;

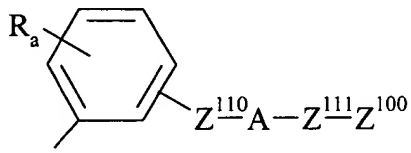
where $Z^{100}$ is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br, $NO_2$, amino, N-alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

$Z^{110}$ and $Z^{111}$ for each occurrence is independently ($C_0$-$C_3$) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-, -N(R)-S(O)$_2$-, -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

80. A compound according to Claim 79, wherein $R_4$ is methyl and there is only one $R_a$ and it is F.

81. A compound according to Claim 9 or 66, wherein

G is  ;

$Z^{100}$ is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl

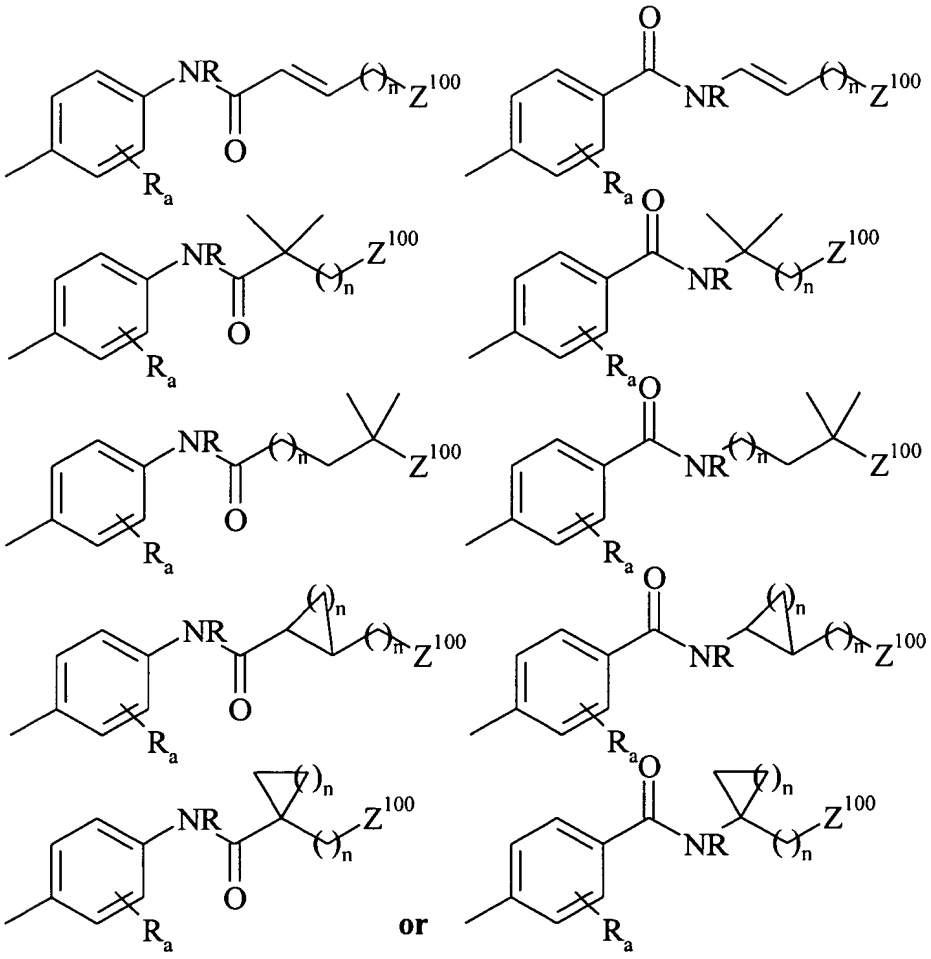
and indolyl; where $Z^{100}$ is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN, $NO_2$, -C(O)H, $-CONH_2$, $-NHSO_2CF_3$, optionally substituted alkyl, optionally substituted heteroaryl and -O-(optionally substituted alkyl);

$Z^{110}$ and $Z^{111}$ are each independently optionally substituted ($C_0$-$C_3$); and

A is O, $-N(R)-C(O)-(CH_2)_n-N(R)-$, -C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)-C(O)- or -N(R)-.

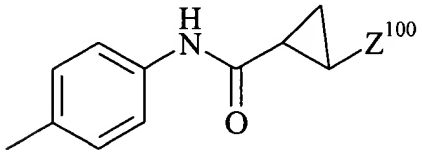
82. A compound according to Claim 81, wherein $R_4$ is methyl; $R_a$ is H or methoxy; and $Z^{110}$ and $Z^{111}$ are each unsubstituted.

83. A compound according to Claim 9, wherein G is



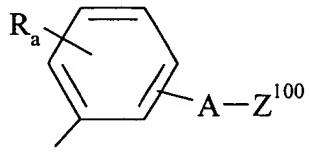
where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. A compound according to Claim 83, wherein G is



85. A compound according to Claim 84, wherein $Z^{100}$ is substituted or unsubstituted phenyl.

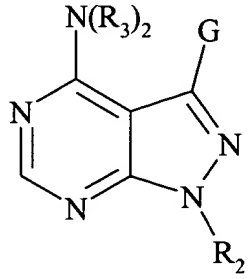
86. A compound according to Claim 8, 9 or 10, wherein

G is  where $Z^{100}$ is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

87. A compound according to Claim 11 wherein n is 2; $R_6$ is H; m is 1; r is 1; and $R_4$ and $R_5$ are each hydrogen.

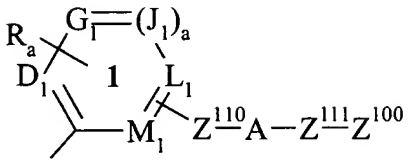
88. A compound according to claim 64 or 87 wherein G is 4-phenoxyphenyl.

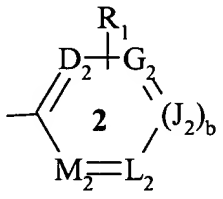
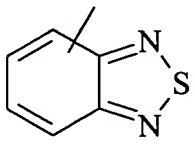
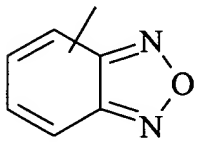
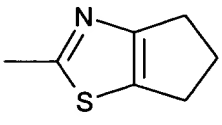
89. A compound of Formula (I)



(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,  ,  , thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-

oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or

unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$-alkyl, $-W-(CH_2)_t-S$-alkyl, or $-W-(CH_2)_t-OH$;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is –($C_1$-$C_6$)-, -O-; -S-; -S(O)$_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -N($SO_2$R)-; -$CH_2$O-; -$CH_2$S-; -$CH_2$N(R)-; -CH(NR)-; -$CH_2$N(C(O)R))-; -$CH_2$N(C(O)OR)-; -$CH_2$N($SO_2$R)-; -CH(NHR)-; -CH(NHC(O)R)-; -CH(NH$SO_2$R)-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -N(R)S(O)$_p$-; -OC(O)N(R)-; ; -N(R)-C(O)-($CH_2$)$_n$-N(R)-, -N(R)C(O)O-; -N(R)-($CH_2$)$_{n+1}$-C(O)-, -S(O)$_p$N(R)-; -O-($CR_2$)$_{n+1}$-C(O)-, -O-($CR_2$)$_{n+1}$-O-, -N(C(O)R)S(O)$_p$-; -N(R)S(O)$_p$N(R)-; -N(R)-C(O)-($CH_2$)$_n$-O-, -C(O)N(R)C(O)-; -S(O)$_p$N(R)C(O)-; -OS(O)$_p$N(R)-; -N(R)S(O)$_p$O-; -N(R)S(O)$_p$C(O)-; -$SO_p$N(C(O)R)-; -N(R)$SO_p$N(R)-; -C(O)O-; -N(R)P($OR_b$)O-; -N(R)P($OR_b$)-; -N(R)P(O)($OR_b$)O-; -N(R)P(O)($OR_b$)-; -N(C(O)R)P($OR_b$)O-; -N(C(O)R)P($OR_b$)-; -N(C(O)R)P(O)($OR_b$)O-, or -N(C(O)R)P($OR_b$)-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

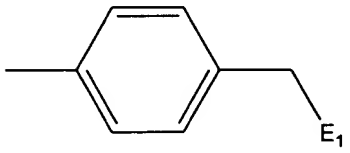
in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is N$SO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is a) hydrogen; b) substituted or unsubstituted trityl; c) substituted or unsubstituted cycloalkenyl; d) azaheteroaryl substituted with a

substituted or unsubstituted alkyl; e) azacycloalkyl which is substituted with one or more substituents selected from substituted or unsubstituted –($C_1$-$C_6$)-alkyl, substituted or unsubstituted -$C_1$-$C_6$-alkyl-OR, substituted or unsubstituted –C(O)-$C_1$-$C_6$-alkyl-$N(R)_2$, substituted or unsubstituted –$C_1$-$C_6$-alkyl-$N(R)_2$, substituted or unsubstituted -$C_1$-$C_6$-alkyl-cycloalkyl, substituted or unsubstituted tetrahydrothienyl, and substituted or unsubstituted tetrahydrothiopyranyl; or f) a group of the formula




wherein $E_1$ is piperidinyl, piperazinyl, imidazolyl, morpholinyl, pyrrolidinyl, amino, amido, or tetrahydrothiazolyl, and wherein E is optionally substituted with one or more substituents selected from –$C_0$-$C_6$-alkyl-OR, -$C_1$-$C_6$-alkyl-C(O)OR, -$C_1$-$C_6$-alkyl-heteroaryl, -$C_1$-$C_6$-alkyl-heterocycloalkyl, and –$C_1$-$C_6$-alkyl-$N(R)_2$;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of

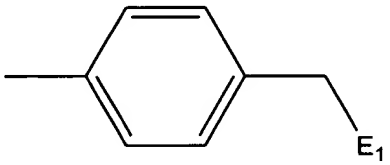
$CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is not alkyl; and

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl.

90. The compound of Claim 89, wherein $R_2$ is a group represented by the following structural formula:




wherein:

$E_1$ is selected from the group consisting of –amino-$C_1$-$C_6$-alkyl-morpholino, -piperidino-($C_1$-$C_6$-alkyl-OR), -imidazolyl-$C_1$-$C_6$-alkyl-C(O)OR, -piperazino-$C_1$-$C_6$-alkyl-OR, -amino-$C_1$-$C_6$-alkyl-OR, -pyrrolidino-OR, -amino-$C_1$-$C_6$-alkyl-imidazolo, -amino-$C_1$-$C_6$-alkyl-$N(R)_2$, -amido-$C_1$-$C_6$-alkyl-$N(R)_2$, tetrahydrothiazolyl, N,N-di-(hydroxy-$C_1$-$C_6$-alkyl)amino-, and –piperizino-OR.

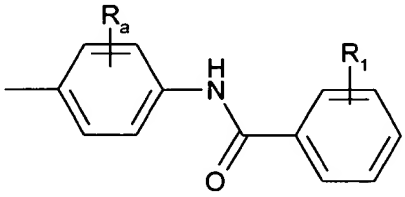
91. The compound of Claim 90, wherein:

$E_1$ is selected from the group consisting of 4-(2-hydroxyethyl)morpholino, 3-hydroxymethylpiperidino, 2-[3-(methylcarboxy)propyl]imidizol-4-yl, 4-(2-hydroxyethyl)piperazino, 2-hydroxyethylamino, 3-hydroxypyrrolidino, 3-imidazolopropylamino, 4-hydroxybutylamino, 3-

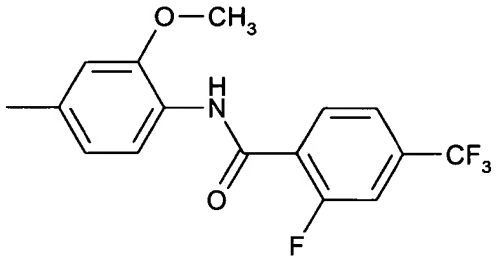
methoxypropylamino, 3-(N,N-dimethylamino)propylamino, N-[2-(N,N-dimethyl)ethyl]amido, tetrahydrothiazolyl, N,N-di-(2-hydroxyethyl)amino, 4-hydroxypiperizino, and 4-hydroxymethylpiperizino.

92. The compound of Claim 90, wherein $Z^{110}$-A-$Z^{111}$ is –NHC(O)-.

93. The compound of Claim 90, wherein G is a group represented by the following structural formula:



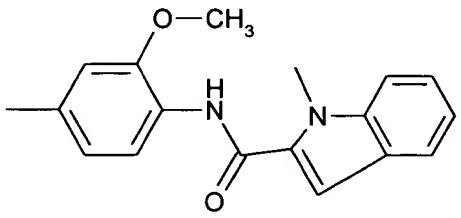
94. The compound of Claim 93, wherein G is represented by the following structural formula:



95. The compound of Claim 89, wherein $R_2$ is an azaheteroaryl substituted with a $C_1$-$C_6$ alkyl, wherein the alkyl is optionally substituted with with one or more substitutents selected form RO-, -C(O)OR, -C(O)N$(R)_2$, and -N$(R)_2$.

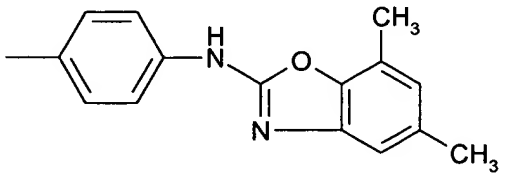
96. The compound of Claim 95, wherein $R_2$ is 4-(2-hydroxyethyl)pyridin-2-yl, 3-aminomethylpyridin-4-yl or 2-methylimidazol-4-yl.

97. The compound of Claim 96, wherein G is represented by the following formula:



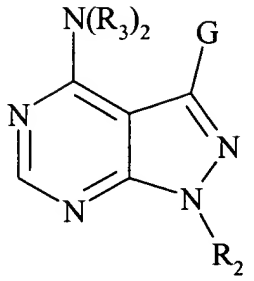
98. The compound of Claim 89, wherein $R_2$ is a pyrrolidinyl which is substituted with 2-methoxyethyl, N,N-dimethylaminomethyl, N,N-dimethylamino-1-oxoethyl, or 2-(N-methylamino)-1-oxopropyl.

99. The compound of Claim 98 wherein G is represented by the following structural formula:



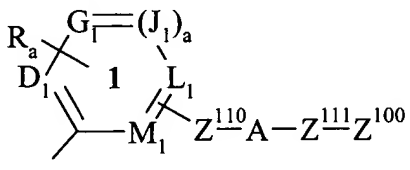
100. The compound of Claim 89, wherein $R_2$ is a piperidinyl which is substituted with a tetrahydrothiopyranyl, tetrahydrothienyl, 2-(N-methylamino)-2-methyl-1-oxopropyl, 2-methoxyethyl, or cyclopropylmethyl.

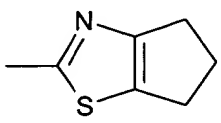
101. A compound of Formula (I)



(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is  ;

wherein $Z^{100}$ is pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, H-pyridinone, 1,1-dioxybenzoisothiazolyl, benzoisoxazolyl, alkyl, imidazo[1,2-a]pyridinyl, pyrrolopyridinyl or  , wherein all of the foregoing $Z^{100}$ groups are optionally substituted with $R_1$;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or

unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, -$Z^{105}$-

$C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$-alkyl, $-W-(CH_2)_t-S$-alkyl, or $-W-(CH_2)_t-OH$;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is $-(C_1-C_6)$ -, -O-; -S-; $-S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; $-N(SO_2R)$-; $-CH_2O$-; $-CH_2S$-; $-CH_2N(R)$-; -CH(NR)-; $-CH_2N(C(O)R)$)-; $-CH_2N(C(O)OR)$-; $-CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; $-CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -

$N(R)S(O)_p$-; -OC(O)N(R)-; ; -N(R)-C(O)-$(CH_2)_n$-N(R)-, -N(R)C(O)O-; -N(R)-$(CH_2)_{n+1}$-C(O)-, -$S(O)_pN(R)$-; -O-$(CR_2)_{n+1}$-C(O)-, -O-$(CR_2)_{n+1}$-O-, -$N(C(O)R)S(O)_p$-; -$N(R)S(O)_pN(R)$-; -N(R)-C(O)-$(CH_2)_n$-O-, -C(O)N(R)C(O)-; -$S(O)_pN(R)C(O)$-; -$OS(O)_pN(R)$-; -$N(R)S(O)_pO$-; -$N(R)S(O)_pC(O)$-; -$SO_pN(C(O)R)$-; -$N(R)SO_pN(R)$-; -C(O)O-; -$N(R)P(OR_b)O$-; -$N(R)P(OR_b)$-; -$N(R)P(O)(OR_b)O$-; -$N(R)P(O)(OR_b)$-; -$N(C(O)R)P(OR_b)O$-; -$N(C(O)R)P(OR_b)$-; -$N(C(O)R)P(O)(OR_b)O$-, or -$N(C(O)R)P(OR_b)$-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is H or a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -($C_1$-$C_6$)-, -($C_1$-$C_6$)- -O-, -($C_1$-$C_6$)- -C(O)-, -($C_1$-$C_6$)- -C(O)O-, -($C_1$-$C_6$)-C(O)-NH-, -($C_1$-$C_6$)-C(O)-N(($C_1$-$C_6$))- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated

heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N(R)$_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N(R)$_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted

cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted

alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when A is –N(R)-, $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, then $Z^{100}$ is not alkyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl or pyrrolidinyl;

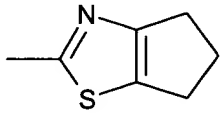
provided that when $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, $Z^{100}$ is a substituted or unsubstituted alkyl, then A is not alkyl, -O-, -C(O)-, -NHC(O)- or –C(O)O-;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is not alkyl;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl,

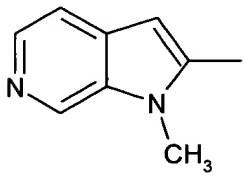
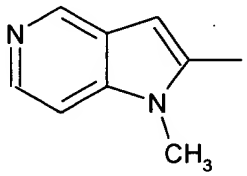
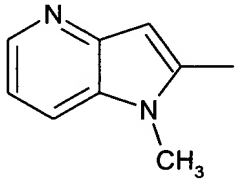
pyrazinyl, pyridazinyl, furyl or thienyl; and

provided that when $R_2$ is a substituted or unsubstituted cyclopentyl, $Z^{100}$ is an substituted or unsubstituted alkyl, $Z^{110}$ and $Z^{111}$ are each a covalent bond, then A is not –O-, -C(O)O-, or –N(R)-.

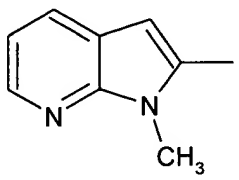
102. The compound of Claim 101, wherein $Z^{100}$ is 2-pyrrolidinyl, 1,2-dihydro-2-oxopyridin-3-yl, benzoisoxazol-3-yl, 1,1-dioxybenzoisothiazol-3-yl, imidazo[1,2-a]pyridin-2-yl or  and $R_2$ is 4-(4-methylpiperazino)-cyclohexyl.

103. The compound of Claim 102, wherein $Z^{110}$-A-$Z^{111}$ is –NH-.

104. The compound of Claim 101, wherein $Z^{100}$ is a pyrrolopyridinyl selected from


,

,


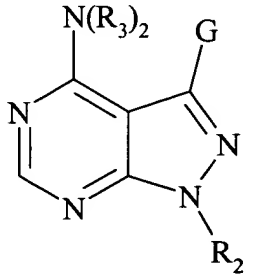
or



105. The compound of Claim 104, wherein $Z^{110}$-A-$Z^{111}$ is –NHC(O)-.

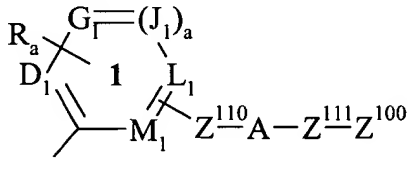
106. The compound of Claim 105, wherein $R_2$ is piperdin-4-yl, N-methylpiperidin-4-yl, N-(prop-2-yl)piperidin-4-yl, N-(imidazol-4-yl-methyl)piperidin-4-yl, N-(2-methylimidazol-4-yl-methyl)piperidin-4-yl, N-(pyrazol-4-yl-methyl)piperidin-4-yl, N-(2-methoxyethyl)piperidin-4-yl, N-(fur-3-yl-methyl)piperidin-4-yl, N-(tetrahydropyran-4-yl-methyl)piperidin-4-yl, N-(pyrrol-2-yl-methyl)piperidin-4-yl, or N-(2-difluoroethyl)piperidin-4-yl.

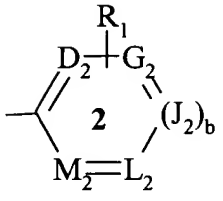
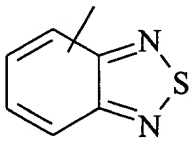
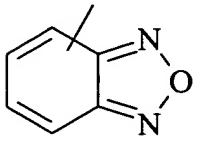
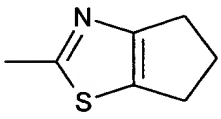
107. A compound of Formula (I)



(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,  ,  , thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or

unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, and wherein at least one of $R_a$ and $R_1$ is not hydrogen;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is –($C_1$-$C_6$) -, -O-; -S-; -$S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -$N(SO_2R)$-; -$CH_2O$-; -$CH_2S$-; -$CH_2N(R)$-; -CH(NR)-; -$CH_2N(C(O)R)$)-; -$CH_2N(C(O)OR)$-; -$CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; -$CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -$N(R)S(O)_p$-; -OC(O)N(R)-; ; -N(R)-C(O)-$(CH_2)_n$-N(R)-, -N(R)C(O)O-; -

$N(R)-(CH_2)_{n+1}-C(O)-$, $-S(O)_pN(R)-$; $-O-(CR_2)_{n+1}-C(O)-$, $-O-(CR_2)_{n+1}-O-$, $-N(C(O)R)S(O)_p-$; $-N(R)S(O)_pN(R)-$; $-N(R)-C(O)-(CH_2)_n-O-$, $-C(O)N(R)C(O)-$; $-S(O)_pN(R)C(O)-$; $-OS(O)_pN(R)-$; $-N(R)S(O)_pO-$; $-N(R)S(O)_pC(O)-$; $-SO_pN(C(O)R)-$; $-N(R)SO_pN(R)-$; $-C(O)O-$; $-N(R)P(OR_b)O-$; $-N(R)P(OR_b)-$; $-N(R)P(O)(OR_b)O-$; $-N(R)P(O)(OR_b)-$; $-N(C(O)R)P(OR_b)O-$; $-N(C(O)R)P(OR_b)-$; $-N(C(O)R)P(O)(OR_b)O-$, or $-N(C(O)R)P(OR_b)-$;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is H or a group of the formula $-Z^{101}-Z^{102}$;

$Z^{101}$ is a covalent bond, $-(C_1-C_6)-$, $-(C_1-C_6)-O-$, $-(C_1-C_6)-C(O)-$, $-(C_1-C_6)-C(O)O-$, $-(C_1-C_6)-C(O)-NH-$, $-(C_1-C_6)-C(O)-N((C_1-C_6))-$ or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or

unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N(R)$_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N(R)$_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted

heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when A is –N(R)-, $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, then $Z^{100}$ is not alkyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl or pyrrolidinyl;

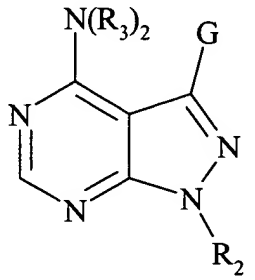
provided that when $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, $Z^{100}$ is a substituted or unsubstituted alkyl, then A is not alkyl, -O-, -C(O)-, -NHC(O)- or –C(O)O-;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is not alkyl;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl; and

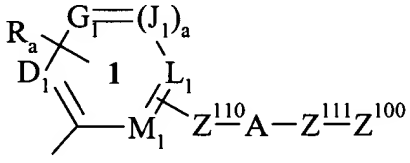
provided that when $R_2$ is a substituted or unsubstituted cyclopentyl, $Z^{100}$ is an substituted or unsubstituted alkyl, $Z^{110}$ and $Z^{111}$ are each a covalent bond, then A is not –O-, -C(O)O-, or –N(R)-.

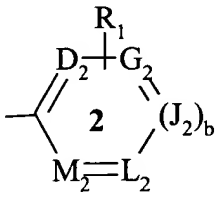
108. A compound of Formula (I)

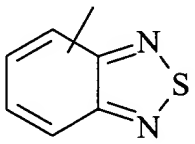
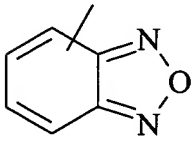
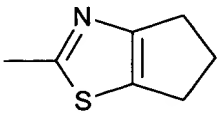


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl,

quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,  ,  , thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH,

substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, -$Z^{105}$-$C(O)N(R)_2$, -$Z^{105}$-N(R)-C(O)-$Z^{200}$, -$Z^{105}$-N(R)-$S(O)_2$-$Z^{200}$, -$Z^{105}$-N(R)-C(O)-N(R)-$Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, -$CH_2$-$NR_dR_e$, -W-$(CH_2)_t$-$NR_dR_e$, -W-$(CH_2)_t$-O-alkyl, -W-$(CH_2)_t$-S-alkyl, or -W-$(CH_2)_t$-OH;

$Z^{105}$ for each occurrence is independently a covalent bond or ($C_1$-$C_6$);

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted phenyl or substituted or unsubstituted -($C_1$-$C_6$)-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is –($C_1$-$C_6$)-;

R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

p is 1 or 2;

$R_2$ is H or a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -($C_1$-$C_6$)-, -($C_1$-$C_6$)- -O-, -($C_1$-$C_6$)- -C(O)-, -($C_1$-$C_6$)- -C(O)O-, -($C_1$-$C_6$)-C(O)-NH-, -($C_1$-$C_6$)-C(O)-N(($C_1$-$C_6$))- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted

cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N(R)$_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N(R)$_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or

unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

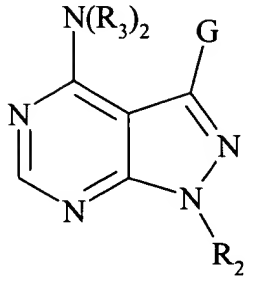
b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

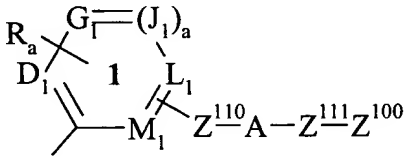
provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl.

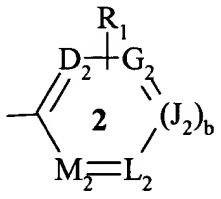
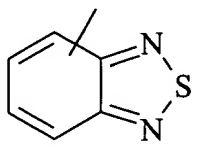
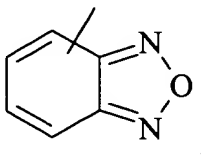
109. A compound of Formula (I)

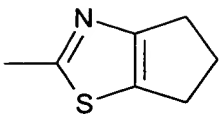


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,  ,



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, $-NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or

unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$-alkyl, $-W-(CH_2)_t-S$-alkyl, or $-W-(CH_2)_t-OH$;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

p is 1 or 2;

$Z^{110}-A-Z^{111}$ taken together is a covalent bond; and

$R_2$ is H or a group of the formula $-Z^{101}-Z^{102}$;

$Z^{101}$ is a covalent bond, $-(C_1-C_6)-$, $-(C_1-C_6)-O-$, $-(C_1-C_6)-C(O)-$, $-(C_1-C_6)-C(O)O-$, $-(C_1-C_6)-C(O)-NH-$, $-(C_1-C_6)-C(O)-N((C_1-C_6))-$ or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted $-N(R)-(C_1-C_6)-OR$, substituted or unsubstituted $-N((C_1-C_6)-OR)_2$,substituted or unsubstituted $-N(R)-(C_1-C_6)-C(O)_2R$, substituted or unsubstituted $-(C_1-C_6)-N(R)-(C_1-C_6)-OR$, substituted or unsubstituted $-(C_1-C_6)-N(R)-(C_1-C_6)-N(R)_2$, substituted or unsubstituted $-(C_1-C_6)-C(O)N(R)-(C_1-C_6)-N(R)_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted $-N(R)-(C_1-C_6)-OR$, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are

independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N($R_2$), substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N($R_2$), -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl,

substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

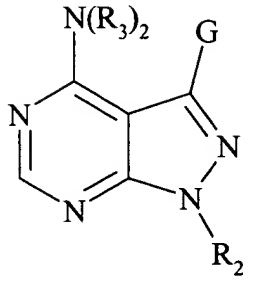
a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

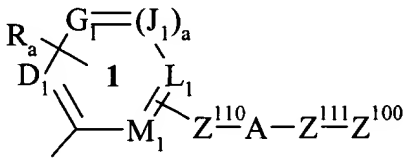
n for each occurrence is independently an integer from 0 to 6.

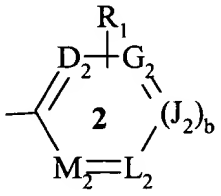
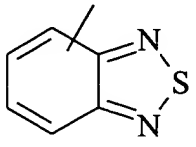
110. A compound of Formula (I)

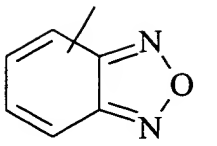


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,

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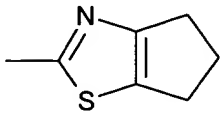



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted

or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, -$Z^{105}$-$C(O)N(R)_2$, -$Z^{105}$-N(R)-C(O)-$Z^{200}$, -$Z^{105}$-N(R)-$S(O)_2$-$Z^{200}$, -$Z^{105}$-N(R)-C(O)-N(R)-$Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, -$CH_2$-$NR_dR_e$, -W-$(CH_2)_t$-$NR_dR_e$, -W-$(CH_2)_t$-O-alkyl, -W-$(CH_2)_t$-S-alkyl, or -W-$(CH_2)_t$-OH;

$Z^{105}$ for each occurrence is independently a covalent bond or ($C_1$-$C_6$);

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted phenyl or substituted or unsubstituted -($C_1$-$C_6$)-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form

a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl.

A is $-(C_1-C_6)$ -, -O-; -S-; $-S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; $-N(SO_2R)$-; $-CH_2O$-; $-CH_2S$-; $-CH_2N(R)$-; -CH(NR)-; $-CH_2N(C(O)R)$)-; $-CH_2N(C(O)OR)$-; $-CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; $-CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; $-N(R)S(O)_p$-; $-OC(O)N(R)$-; ; $-N(R)-C(O)-(CH_2)_n-N(R)$-, $-N(R)C(O)O$-; $-N(R)-(CH_2)_{n+1}-C(O)$-, $-S(O)_pN(R)$-; $-O-(CR_2)_{n+1}-C(O)$-, $-O-(CR_2)_{n+1}-O$-, $-N(C(O)R)S(O)_p$-; $-N(R)S(O)_pN(R)$-; $-N(R)-C(O)-(CH_2)_n-O$-, $-C(O)N(R)C(O)$-; $-S(O)_pN(R)C(O)$-; $-OS(O)_pN(R)$-; $-N(R)S(O)_pO$-; $-N(R)S(O)_pC(O)$-; $-SO_pN(C(O)R)$-; $-N(R)SO_pN(R)$-; -C(O)O-; $-N(R)P(OR_b)O$-; $-N(R)P(OR_b)$-; $-N(R)P(O)(OR_b)O$-; $-N(R)P(O)(OR_b)$-; $-N(C(O)R)P(OR_b)O$-; $-N(C(O)R)P(OR_b)$-; $-N(C(O)R)P(O)(OR_b)O$-, or $-N(C(O)R)P(OR_b)$-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted

cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is H or a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -($C_1$-$C_6$)-, -($C_1$-$C_6$)- -O-, -($C_1$-$C_6$)- -C(O)-, -($C_1$-$C_6$)- -C(O)O-, -($C_1$-$C_6$)-C(O)-NH-, -($C_1$-$C_6$)-C(O)-N(($C_1$-$C_6$))- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -

$N(R)_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)$N(R)_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-$N(R)_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or

unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of

$CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when A is –N(R)-, $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, then $Z^{100}$ is not alkyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl or pyrrolidinyl;

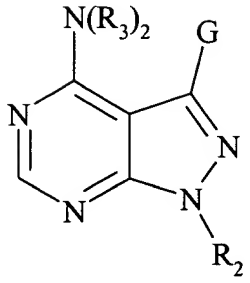
provided that when $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-dihydroxytetrahydrofur-2-yl or a 3,4-diacyloxytetrahydrofur-2-yl, $Z^{100}$ is a substituted or unsubstituted alkyl, then A is not alkyl, -O-, -C(O)-, -NHC(O)- or –C(O)O-;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is not alkyl;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl; and

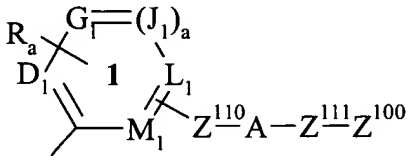
provided that when $R_2$ is a substituted or unsubstituted cyclopentyl, $Z^{100}$ is an substituted or unsubstituted alkyl, $Z^{110}$ and $Z^{111}$ are each a covalent bond, then A is not –O-, -C(O)O-, or –N(R)-.

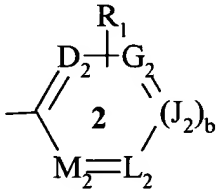
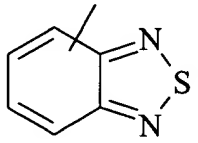
111. A compound of Formula (I)

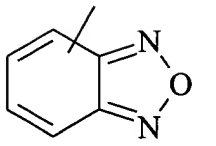


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,

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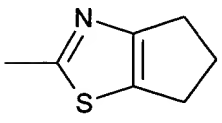



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted

or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t$-O-alkyl, $-W-(CH_2)_t$-S-alkyl, or $-W-(CH_2)_t$-OH;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form

a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is $-(C_1-C_6)$ -, -O-; -S-; $-S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; $-N(SO_2R)$-; $-CH_2O$-; $-CH_2S$-; $-CH_2N(R)$-; -CH(NR)-; $-CH_2N(C(O)R)$)-; $-CH_2N(C(O)OR)$-; $-CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; $-CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; $-N(R)S(O)_p$-; -OC(O)N(R)-; ; $-N(R)-C(O)-(CH_2)_n-N(R)$-, -N(R)C(O)O-; $-N(R)-(CH_2)_{n+1}-C(O)$-, $-S(O)_pN(R)$-; $-O-(CR_2)_{n+1}-C(O)$-, $-O-(CR_2)_{n+1}-O$-, $-N(C(O)R)S(O)_p$-; $-N(R)S(O)_pN(R)$-; $-N(R)-C(O)-(CH_2)_n-O$-, -C(O)N(R)C(O)-; $-S(O)_pN(R)C(O)$-; $-OS(O)_pN(R)$-; $-N(R)S(O)_pO$-; $-N(R)S(O)_pC(O)$-; $-SO_pN(C(O)R)$-; $-N(R)SO_pN(R)$-; -C(O)O-; $-N(R)P(OR_b)O$-; $-N(R)P(OR_b)$-; $-N(R)P(O)(OR_b)O$-; $-N(R)P(O)(OR_b)$-; $-N(C(O)R)P(OR_b)O$-; $-N(C(O)R)P(OR_b)$-; $-N(C(O)R)P(O)(OR_b)O$-, or $-N(C(O)R)P(OR_b)$-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl,

substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -($C_1$-$C_6$)-, -($C_1$-$C_6$)- -O-, -($C_1$-$C_6$)- -C(O)-, -($C_1$-$C_6$)- -C(O)O-, -($C_1$-$C_6$)-C(O)-NH-, -($C_1$-$C_6$)-C(O)-N(($C_1$-$C_6$))- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is a substituted or unsubstituted cycloalkenyl, wherein said substituted cycloalkenyl has one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted

heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N($R)_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N($R)_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

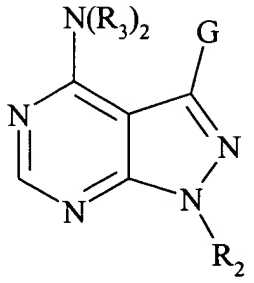
a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

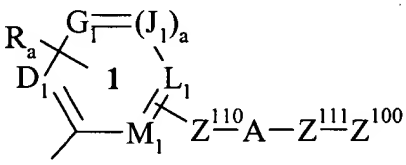
n for each occurrence is independently an integer from 0 to 6.

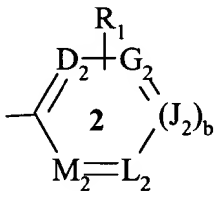
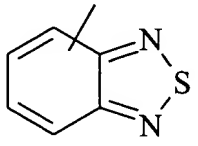
112. A compound of Formula (I)

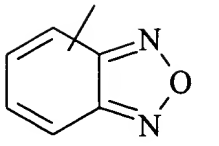


(I)

racemic-diastereomeric mixtures, optical isomers, pharmaceutically-acceptable salts, prodrugs or biologically active metabolites thereof wherein:

G is 

where $Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,

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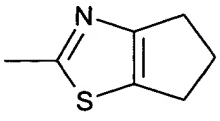



, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$Z^{110}$ is a covalent bond, or an optionally substituted ($C_1$-$C_6$) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$Z^{111}$ is a covalent bond, an optionally substituted ($C_1$-$C_6$) or an optionally substituted -$(CH_2)_n$-cycloalkyl-$(CH_2)_n$-; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, $NO_2$, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

$R_a$ and $R_1$ each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted

or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$-alkyl, $-W-(CH_2)_t-S$-alkyl, or $-W-(CH_2)_t-OH$;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form

a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2;

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

A is $-(C_1\text{-}C_6)$ -, -O-; -S-; $-S(O)_p$-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; $-N(SO_2R)$-; $-CH_2O$-; $-CH_2S$-; $-CH_2N(R)$-; -CH(NR)-; $-CH_2N(C(O)R)$)-; $-CH_2N(C(O)OR)$-; $-CH_2N(SO_2R)$-; -CH(NHR)-; -CH(NHC(O)R)-; $-CH(NHSO_2R)$-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; $-N(R)S(O)_p$-; -OC(O)N(R)-; ; $-N(R)\text{-}C(O)\text{-}(CH_2)_n\text{-}N(R)$-, -N(R)C(O)O-; $-N(R)\text{-}(CH_2)_{n+1}\text{-}C(O)$-, $-S(O)_pN(R)$-; $-O\text{-}(CR_2)_{n+1}\text{-}C(O)$-, $-O\text{-}(CR_2)_{n+1}\text{-}O$-, $-N(C(O)R)S(O)_p$-; $-N(R)S(O)_pN(R)$-; $-N(R)\text{-}C(O)\text{-}(CH_2)_n\text{-}O$-, $-C(O)N(R)C(O)$-; $-S(O)_pN(R)C(O)$-; $-OS(O)_pN(R)$-; $-N(R)S(O)_pO$-; $-N(R)S(O)_pC(O)$-; $-SO_pN(C(O)R)$-; $-N(R)SO_pN(R)$-; -C(O)O-; $-N(R)P(OR_b)O$-; $-N(R)P(OR_b)$-; $-N(R)P(O)(OR_b)O$-; $-N(R)P(O)(OR_b)$-; $-N(C(O)R)P(OR_b)O$-; $-N(C(O)R)P(OR_b)$-; $-N(C(O)R)P(O)(OR_b)O$-, or $-N(C(O)R)P(OR_b)$-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

$R_b$ for each occurrence is independently H, substituted or unsubstituted alkyl,

substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2; or

in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and $R_b$ together form a five- or six-membered heterocyclic ring; or

A is $NRSO_2$ and R, $R_a$ and the nitrogen atom together form a substituted or unsubstituted five or-six-membered heterocyclic ring fused to ring 1; or

$Z^{110}$-A-$Z^{111}$ taken together is a covalent bond; and

$R_2$ is a group of the formula -$Z^{101}$-$Z^{102}$;

$Z^{101}$ is a covalent bond, -($C_1$-$C_6$)-, -($C_1$-$C_6$)- -O-, -($C_1$-$C_6$)- -C(O)-, -($C_1$-$C_6$)- -C(O)O-, -($C_1$-$C_6$)-C(O)-NH-, -($C_1$-$C_6$)-C(O)-N(($C_1$-$C_6$))- or a substituted or unsubstituted phenyl group;

$Z^{102}$ is a substituted, saturated or unsaturated heterocyclic group; or a substituted, saturated or unsaturated heterobicyclic group; wherein said substituted heterocyclic and substituted heterobicyclic group have one or more substituents each independently selected from the group consisting of nitro, halo, substituted or unsubstituted ($C_1$-$C_6$), substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –N(($C_1$-$C_6$) -OR)$_2$,substituted or unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, and a substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of O, and S; wherein the nitrogen atoms of said heterocyclic group or

heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -$C(O)N(R)_2$, substituted or unsubstituted -$C(O)$-$(C_1$-$C_6)$-$N(R)_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl;

a is 1 and $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_1$, $G_1$, $J_1$, $L_1$ and $M_1$ are $CR_a$; or

a is 0, and one of $D_1$, $G_1$, $L_1$ and $M_1$ is $NR_a$, one of $D_1$, $G_1$, $L_1$ and $M_1$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

provided that when A is –N(R)-, $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-diacyloxytetrahydrofur-2-yl, then $Z^{100}$ is not alkyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl or pyrrolidinyl;

provided that when $Z^{110}$ and $Z^{111}$ are each a covalent bond, and $R_2$ is a 3,4-diacyloxytetrahydrofur-2-yl, $Z^{100}$ is a substituted or unsubstituted alkyl, then A is not alkyl, -O-, -C(O)-, -NHC(O)- or –C(O)O-;

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a covalent bond, then $Z^{100}$ is

not alkyl; and

provided that when $Z^{110}$-A-$Z^{111}$ taken together are a $C_1$-$C_6$ alkyl, then $Z^{100}$ is not phenyl, pyrrolyl, imidazolyl, pyrazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, furyl or thienyl.

113. A method of inhibiting one or more protein kinase activity in a patient comprising administering a therapeutically effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

114. The method of Claim 113 wherein said protein kinase is selected from the group consisting of KDR, FGFR-1, PDGFRβ, PDGFRα, IGF-1R, c-Met, Flt-1, Flt-4, TIE-2, TIE-1, Lck, Src, fyn, Lyn, Blk, hck, fgr and yes.

115. A method of affecting hyperproliferative disorders in a patient comprising administering a therapeutically effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

116. A method of affecting angiogenesis in a patient comprising administering a therapeutically effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

117. The method of Claim 113 wherein the protein kinase is a protein serine/threonine kinase or a protein tyrosine kinase.

118. A method of treating one or more ulcers in a patient comprising administering a therapeutically effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient.

119. The method of Claim 118 wherein the ulcer or ulcers are caused by a bacterial or fungal infection; or the ulcer or ulcers are Mooren ulcers; or the ulcer or ulcers are a symptom of ulcerative colitis.

120. A method of treating a condition in a patient comprising administering a therapeutically effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolites thereof to said patient, wherein said condition is an ocular condition, a cardiovascular condition, a cancer, Crow-Fukase (POEMS) syndrome, a diabetic condition, sickle cell anaemia, chronic inflammation, systemic lupus, glomerulonephritis, synovitis, inflammatory bowel disease, Crohn's disease, glomerulonephritis, rheumatoid arthritis, osteoarthritis, multiple sclerosis, graft rejection, Lyme disease, sepsis, von Hippel Lindau disease, pemphigoid, psoriasis, Paget's disease, polycystic kidney disease, fibrosis, sarcoidosis, cirrhosis, thyroiditis, hyperviscosity syndrome, Osler-Weber-Rendu disease, chronic occlusive pulmonary disease, asthma or edema following burns, trauma, radiation, stroke, hypoxia, ischemia, ovarian hyperstimulation syndrome, preeclampsia, menometrorrhagia, endometriosis, or infection by Herpes simplex, Herpes Zoster, human immunodeficiency virus, parapoxvirus, protozoa or toxoplasmosis.

121. The method of Claim 120 wherein the ocular condition is ocular or macular edema, ocular neovascular disease, scleritis, radial keratotomy, uveitis, vitritis, myopia, optic pits, chronic retinal detachment, post-laser treatment complications, conjunctivitis, Stargardt's disease, Eales disease, retinopathy or macular degeneration.

122. The method of Claim 120 wherein the cardiovascular condition is atherosclerosis, restenosis, ischemia/reperfusion injury, vascular occlusion or carotid obstructive disease.

123. The method of Claim 120 wherein the cancer is a solid tumor, a sarcoma, fibrosarcoma, osteoma, melanoma, retinoblastoma, a rhabdomyosarcoma, glioblastoma, neuroblastoma, teratocarcinoma, an hematopoietic malignancy, Kaposi's sarcoma, Hodgkin's disease, lymphoma, myeloma, leukemia or malignant ascites.

124. The method of Claim 120 wherein the diabetic condition is insulin-dependent diabetes mellitus glaucoma, diabetic retinopathy or microangiopathy.

125. A method of decreasing fertility in a patient, said method comprising the step of administering to the patient an effective amount of a compound of Claim 89, 101, 107, 108, 109, 110, 111 or 112 or a physiologically acceptable salt, prodrug or biologically active metabolite thereof.

126. The method of Claim 116 wherein the compound or a physiologically acceptable salt, prodrug or biologically active metabolite thereof is administered in an amount effective to promote angiogenesis or vasculogenesis.

127. The method of Claim 114 wherein the protein kinase is Tie-2.

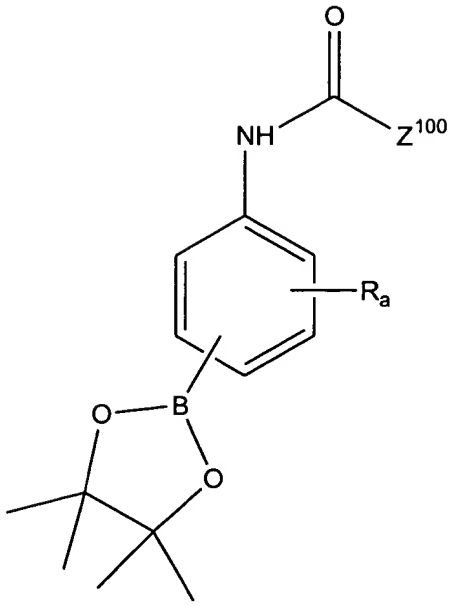
128. The method of Claim 126 wherein the compound of Formula I, or physiologically acceptable salt, prodrug or biologically active metabolite thereof, is administered in combination with a pro-angiogenic growth factor.

129. The method of Claim 128 wherein the pro-angiogenic growth factor is selected from the group consisiting of VEGF, VEGF-B, VEGF-C, VEGF-D, VEGF-E, HGF, FGF-1, FGF-2, derivatives thereof and antiiodotypic antibodies.

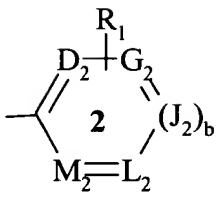
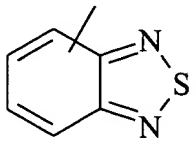
130. The method of Claim 126 wherein the patient is suffering from anemia, ischemia, infarct, transplant rejection, a wound, gangrene or necrosis.

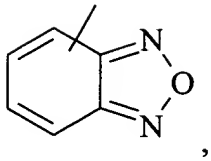
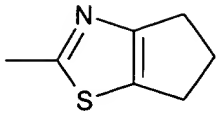
131. The method of Claim 113 wherein the protein kinase activity is involved in T cell activation, B cell activation, mast cell degranulation, monocyte activation, the potentiation of an inflammatory response or a combination thereof.

132. A method of preparing a 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl intermediate represented by the following structural formula:



wherein:

$Z^{100}$ is  or a group optionally substituted with $R_1$ selected from the group consisting of alkyl, cycloalkyl, pyrrolidinyl, quinolinyl, quinoxalinyl, quinazolinyl, isoquinolinyl, phthalazinyl, imidazo[1,2-a]pyrimidinyl, 1H-imidazo[1,2-a]imidazolyl, imidazo[2,1-b][1,3]thiazolyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl, thienyl, benzoxazolyl, benzoisoxazolyl, benzothiazolyl,  ,

, thiazolyl, benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidinyl, pyrazolyl, pyrrolyl, pyrrolopyridinyl, H-pyridinone, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indolinyl, indazolyl, imidazo[1,2-a]pyridinyl, benzoisothiazolyl, 1,1-dioxybenzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

$R_a$ and $R_1$ represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, -$NO_2$, -C(O)OH, -C(O)H, -OH, -C(O)O-alkyl, -C(O)O-aryl, -C(O)O-heteroaryl, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted heteroarylalkoxy, substituted or unsubstituted arylalkoxy, substituted or unsubstituted alkyl-$S(O)_p$-, substituted or unsubstituted alkyl-S-, substituted or unsubstituted aryl-$S(O)_p$-, substituted or unsubstituted heteroaryl-$S(O)_p$-, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted

aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, $R_c$ and $CH_2OR_c$;

where $R_c$ for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$-alkyl, $-W-(CH_2)_t-S$-alkyl, or $-W-(CH_2)_t-OH$;

$Z^{105}$ for each occurrence is independently a covalent bond or $(C_1-C_6)$;

$Z^{200}$ for each occurrence is independently a substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$-phenyl;

$R_d$ and $R_e$ for each occurrence are independently H, alkyl, alkanoyl or $SO_2$-alkyl; or $R_d$, $R_e$ and the nitrogen atom to which they are attached together form a five- or six-membered heterocyclic ring;

t for each occurrence is independently an integer from 2 to 6;

W for each occurrence is independently a direct bond or O, S, S(O), $S(O)_2$, or $NR_f$, wherein $R_f$ for each occurrence is independently H or alkyl; or

$R_1$ is a substituted or unsubstituted carbocyclic or heterocyclic ring fused with ring 2; and

R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

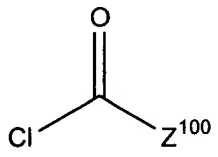
p is 1 or 2; and

b is 1 and $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are each independently selected from the group consisting of $CR_a$ and N, provided that at least two of $D_2$, $G_2$, $J_2$, $L_2$ and $M_2$ are $CR_a$; or

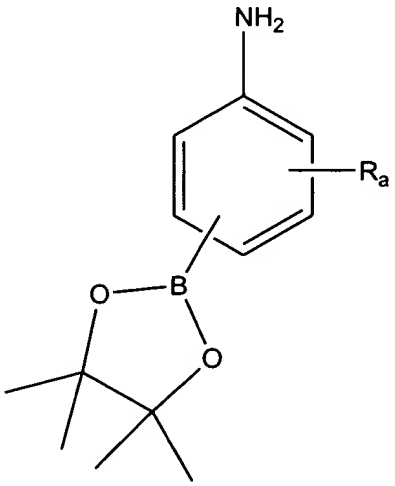
b is 0, and one of $D_2$, $G_2$, $L_2$ and $M_2$ is $NR_a$, one of $D_2$, $G_2$, $L_2$ and $M_2$ is $CR_a$ and

the remainder are independently selected from the group consisting of $CR_a$ and N, wherein $R_a$ is as defined above;

comprising the step of reacting in the presence of an aprotic base an acid chloride represented by the following structural formula:



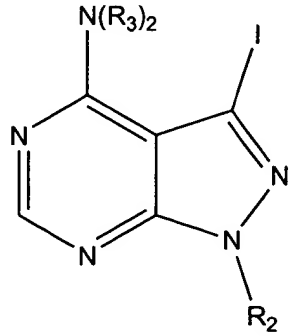

with a (4,4,5,5-tetramethyl-1,3,2-dioxaborolanyl)aniline represented by the following structural formula:




to form said 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl intermediate.

133. The method of Claim 132, further comprising the step of reacting the 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl intermediate in the presence of

tetrakis(triphenylphosphine)palladium(0) and sodium carbonate with a 3-iodo-1*H*-pyrazolo[3,4-d]pyrimidine represented by the following structural formula:




wherein:

$R_2$ is H or a group of the formula $-Z^{101}-Z^{102}$;

$Z^{101}$ is a covalent bond, $-(C_1-C_6)-$, $-(C_1-C_6)-O-$, $-(C_1-C_6)-C(O)-$, $-(C_1-C_6)-C(O)O-$, $-(C_1-C_6)-C(O)-NH-$, $-(C_1-C_6)-C(O)-N((C_1-C_6))-$ or a substituted or unsubstituted phenyl group;

$Z^{102}$ is hydrogen; a substituted or unsubstituted alkyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted cycloalkenyl, a substituted or unsubstituted, saturated or unsaturated heterocyclic group; or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group; wherein said substituted alkyl, substituted cycloalkyl, substituted cycloalkenyl, substituted heterocyclic and substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, nitro, halo, substituted or unsubstituted $(C_1-C_6)$, substituted or unsubstituted aryl, substituted or unsubstituted -C(O)-alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted $-N(R)-(C_1-C_6)-OR$, substituted or unsubstituted $-N((C_1-C_6)-OR)_2$,substituted or

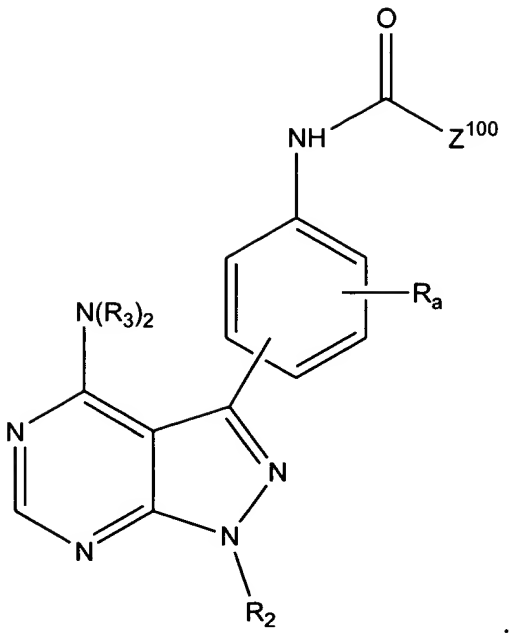
unsubstituted -N(R)-($C_1$-$C_6$) -C(O)$_2$R, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -OR, substituted or unsubstituted –($C_1$-$C_6$) -N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted –($C_1$-$C_6$) -C(O)N(R)-($C_1$-$C_6$) -N(R)$_2$, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido, substituted or unsubstituted amino, substituted or unsubstituted –N(R)-($C_1$-$C_6$) -OR, oxo, and a saturated, unsaturated or aromatic, substituted or unsubstituted heterocyclic group comprising one or more heteroatoms selected from the group consisting of N, O, and S; wherein the nitrogen atoms of said heterocyclic group or heterobicyclic group are independently optionally substituted by oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted -C(O)N(R)$_2$, substituted or unsubstituted -C(O)-($C_1$-$C_6$)-N(R)$_2$, -C(O)-alkyl, -C(O)-aryl, -C(O)-heteroaryl, substituted or unsubstituted arylalkyl group, or substituted or unsubstituted heteroarylalkyl; or

$R_2$ is a group of the formula -B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylenecarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted alkyl, a substituted or unsubstituted cycloalkyl, substituted

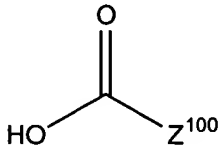
or unsubstituted azacycloalkyl, a substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted ($C_1$-$C_6$)-azacycloalkyl-, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted aryl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted alkyl-N(R)-($C_1$-$C_6$)-, substituted or unsubstituted heteroaryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted aryl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted alkyl-($C_1$-$C_6$)-N(R)-, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted arylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino, substituted or unsubstituted arylcarbonylamino, substituted or unsubstituted alkylcarbonylamino or substituted or unsubstituted aryl; and

$R_3$ for each occurrence is, independently, hydrogen, hydroxy, substituted or unsubstituted alkyl, substituted or unsubstituted -C(O)-alkyl, a substituted or unsubstituted -C(O)-aryl, or a substituted or unsubstituted –C(O)-heteroaryl or substituted or unsubstituted alkoxy;

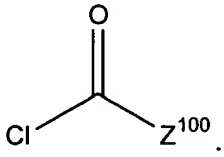
to form a compound represented by the following structural formula:




134. The method of Claim 133, further comprising the step of reacting a carboxylic acid represented by the following structural formula:



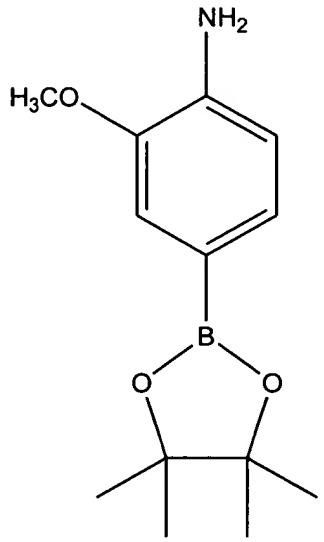

with oxalyl chloride and an aprotic base to form an acid chloride represented by the following structural formula:



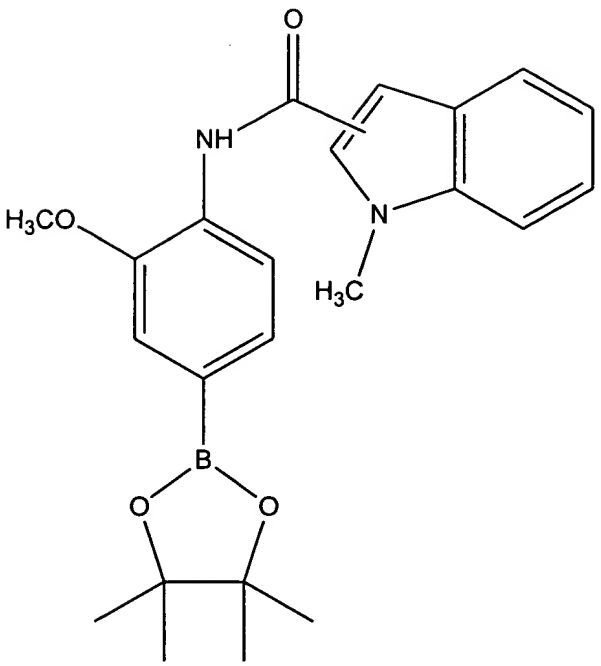
135. The method of Claim 132, 133 or 134 wherein $Z^{100}$ is an indolyl which is optionally substituted with $R_1$.

136. The method of Claim 135, wherein $Z^{100}$ is 1-methyl-indol-2-yl or 1-methyl-indol-3-yl.

137. The method of Claim 136, wherein the (4,4,5,5-tetramethyl-1,3,2-dioxaborolanyl)aniline is represented by the following structural formula:




and the 4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl intermediate is represented by the following structural formula:




138. The method of Claim 137, wherein $R_2$ is 4-(4-methylpiperazino)cyclohexyl.